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New biaromatic compounds are useful in treatment of cell proliferation and differentiation disorders - as well as e.g. ophthalmological disorders, cardiovascular disorders, non-insulin-dependent diabetes and Uv-induced cutaneous disorders

Patent Assignee: CIRD CENT INT RECH DERMATOLOGIQUES (CIRD); GALDERMA RES & DEV (CIRD)

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Patent Family:

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WO 9822423	A1	19980528	WO 97FR2063	A	19971117	199830 B
FR 2755965	A1	19980522	FR 9614098	A	19961119	199830
AU 9852254	A	19980610	AU 9852254	A	19971117	199843
BR 9707153	A	19990406	BR 977153	A	19971117	199920
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FR 2755965 A1 C07C-059/72

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 MC NL PT SE
 DE 69704619 E C07C-059/84 Based on patent EP 915823
 Based on patent WO 9822423
 US 6258775 B1 A61K-038/00 Based on patent WO 9822423
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 ES 2158597 T3 C07C-059/84 Based on patent EP 915823
 JP 3232484 B2 28 C07C-059/84 Previous Publ. patent JP 11503472
 Based on patent WO 9822423

Abstract (Basic): WO 9822423 A

Biaromatic compounds of formula (I), their optical and geometric isomers and their salts are new. R1 = Me, CH2OR5, OR5 or COR6; Y = (R7)C=C(R7') or C triple bond C; Ar = Ph (substituted by R8) or furandiyl, thiophendiyl or pyridindiyl; Y may be ortho or meta to X; X = O, S, SO, SO2, N(R9), C(O), C=CH2, C=C(Me)2, CH2, CH(OR5), CH(R12), CH(NHOH), C=N-OR5, 1,3-dioxolan-2-diyl, 1,3-dioxan-2-diyl, 1,3-dithian-2-diyl, 1,3-dithiolan-2-diyl, oxiran-1-diyl or cyclopropan-1-diyl; R2, R3 = H, alkyl, OR5, SR5, polyether or alkyl containing at least 3 C atoms, the carbon attached to the phenyl group being substituted by at least 2 C atoms; or R2+R3 = 5- or 6-membered aromatic ring (optionally substituted by methyl and optionally interrupted by O or S); provided that when R2+R3 do not form a ring, then at least one of R2 and R3 is an alkyl containing at least 3 C atoms, the carbon attached to the phenyl group being substituted by at least 2 C atoms; R4, R8 = H, halogen, alkyl, OR5 or polyether; R5 = H, lower alkyl or COR10; R6 = H, lower alkyl, N(R')(R'') or OR11; R7, R7', R9 = H or lower alkyl; n = 0 or 1; R10 = lower alkyl; R11 = H, alkyl, alkenyl, mono- or poly-hydroxy alkyl, aryl or aralkyl (all optionally substituted) or residue of a sugar, amino acid or peptide; R12 = lower alkyl; R', R'' = H, lower alkyl, mono- or poly-hydroxy alkyl, optionally substituted aryl or residue of a sugar, amino acid or peptide; or R'+R'' = heterocycle.

USE - (I) are useful in the treatment of keratinisation disorders, inflammatory conditions which are not related to keratinisation disorders, malignant or benign dermal or epidermal proliferation, bullous dermatosis, collagen diseases, ophthalmological disorders, cardiovascular disorders, non-insulin-dependent diabetes, and UV-induced cutaneous disorders. (I) are also used to combat or repair damage caused by skin ageing, to reduce pigmentation and actinic keratosis, to prevent or repair vergetures, to promote cicatrisation, to combat sebaceous function disorders such as hyperseborrhoea of acne or simple seborrhoea. They are useful in the prevention or treatment of e.g. cutaneous atrophy, cicatrisation disorders, alopecia and cancerous or precancerous states such as promyelocytic leukaemia. They are used in pharmaceutical compositions in an amount of 0.001-5 wt.% and in cosmetic compositions for bodily and capillary hygiene in an amount of 0.001-3 wt.% (all claimed). Administration is enteral, parenteral, topical or ocular and in a daily dosage of 0.01-100 mg/kg, 1-3 times.

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Title Terms: NEW; BI; AROMATIC; COMPOUND; USEFUL; TREAT; CELL; PROLIFERATION; DIFFERENTIAL; DISORDER; WELL; OPHTHALMIC; DISORDER; CARDIOVASCULAR; DISORDER; NON; INSULIN; DEPEND; DIABETES; ULTRAVIOLET; INDUCE; CUTANEOUS; DISORDER

Derwent Class: B03; B05; C02; C03; D21; E13; E14

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C07C-059/86

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C07D-213/24; C07D-213/60; C07D-295/18; C07D-295/185; C07D-339/08

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